PCT09

RAW SEQUENCE LISTING   DATE: 12/19/2001 *P.S*
PATENT APPLICATION: US/09/787,436   TIME: 16:01:46

Input Set : A:\ES.txt
Output Set: N:\CRF3\12192001\I787436.raw   **ENTERED**

```
     5 <110> APPLICANT: DELANSORNE, R,mi
W-->  7 <110> APPLICANT: BONNET, Paule
W-->  9 <110> APPLICANT: PARIS, Jacques
     13 <120> TITLE OF INVENTION: Pharmaceutical compositions based on alpha-cyclodextrin
     15        for the oral administration of LH-RH analogues
     19 <130> FILE REFERENCE: H20058-5US
C--> 23 <140> CURRENT APPLICATION NUMBER: US/09/787,436
C--> 23 <141> CURRENT FILING DATE: 2001-03-27
     23 <150> PRIOR APPLICATION NUMBER: PCT/EP99/07389
     25 <151> PRIOR FILING DATE: 1999-09-23
     29 <150> PRIOR APPLICATION NUMBER: EP98402403.4
     31 <151> PRIOR FILING DATE: 1998-09-30
     35 <160> NUMBER OF SEQ ID NOS: 7
     39 <170> SOFTWARE: PatentIn Ver. 2.1
     43 <210> SEQ ID NO: 1
     45 <211> LENGTH: 10
     47 <212> TYPE: PRT
     49 <213> ORGANISM: Artificial Sequence
     53 <220> FEATURE:
     55 <223> OTHER INFORMATION: Description of Artificial Sequence:LH-RH analogue
     59 <220> FEATURE:
     61 <221> NAME/KEY: SITE
     63 <222> LOCATION: (1)
     65 <223> OTHER INFORMATION: Xaa is pGlu, D-pGlu, Sar, AcSar, Pro, Ser, D-Ser, Ac-D-Ser,
     67        Thr, D-Thr, Ac-D-Thr or an optionally substituted and/or acylated
     69        aromatic D-amino acid
     73 <220> FEATURE:
     75 <221> NAME/KEY: SITE
     77 <222> LOCATION: (2)
     79 <223> OTHER INFORMATION: Xaa His or an optionally substituted aromatic D-amino acid
     83 <220> FEATURE:
     85 <221> NAME/KEY: SITE
     87 <222> LOCATION: (3)
     89 <223> OTHER INFORMATION: Xaa is an optionally substituted aromatic L- or D-amino acid
     93 <220> FEATURE:
     95 <221> NAME/KEY: SITE
     97 <222> LOCATION: (4)
     99 <223> OTHER INFORMATION: Xaa is Ala, Ser, D-Ser, MeSer, Ser(OBut), Ser(OBzl) or Thr
    103 <220> FEATURE:
    105 <221> NAME/KEY: SITE
    107 <222> LOCATION: (5)
    109 <223> OTHER INFORMATION: Xaa is an optionally substituted aromatic L-amino acid
    111        or an optionally substituted basic L- or D-amino acid
    115 <220> FEATURE:
    117 <221> NAME/KEY: SITE
    119 <222> LOCATION: (6)
    121 <223> OTHER INFORMATION: Xaa is Gly, (S)-spirolactam-Pro, D-Pro, D-Ser, D-Thr,
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```
      123        D-Cys, D-Met, D-Asn, D-Pen, D-(S-Me)Pen, D-(S-Et)Pen,
      125        D-Ser(OBut), D-Asp(OBut), D-Glu(OBut), D-Thr(OBut),
      127        D-Cys(OBut), D-Ser(OR1) where R1 is a sugar moiety, an
W--> 129        aza-amino acid, D-His which may be substituted on the
W--> 131        imidazole ring by a (C1-C6)alkyl, a (C2-C7)acyl or a
W--> 133        benzyl group, an aliphatic D-amino acid with a (C1-C8)-
W--> 135        alkyl or a (C3-C6)cycloalkyl side chain, an optionally
W--> 137        substituted aromatic D-amino acid, D-cyclohexadienyl-Gly,
W--> 139        D-perhydronaphthyl-Ala, D-perhydrodiphenyl-Ala or an
W--> 141        optionally substituted basic L- or D-amino acid
      145 <220> FEATURE:
      147 <221> NAME/KEY: SITE
      149 <222> LOCATION: (7)
      151 <223> OTHER INFORMATION: Xaa is a linear, branched or cyclic aliphatic L-amino
      153        acid of 3 to 20 carbon atoms which may be N-alpha-
      155        substituted by a (C1-C4)alkyl group optionally substituted
      157        by one or several fluorine atoms
      161 <220> FEATURE:
      163 <221> NAME/KEY: SITE
      165 <222> LOCATION: (8)
      167 <223> OTHER INFORMATION: Xaa is an optionally substituted basic L- or D-amino acid
      171 <220> FEATURE:
      173 <221> NAME/KEY: SITE
      175 <222> LOCATION: (10)
      177 <223> OTHER INFORMATION: Xaa is GlyNH2, D-AlaNH2, azaGlyNH2 or a group -NHR2
      179        where R2 is a (C1-C4)alkyl which may be substituted by
      181        an hydroxy or one or several fluorine atoms, a (C3-
      183        C6)cycloalkyl or a heterocyclic radical selected from
W--> 185        morpholinyl, pyrrolidinyl and piperidyl
      189 <400> SEQUENCE: 1
W--> 191 Xaa Xaa Xaa Xaa Xaa Xaa Xaa Xaa Pro Xaa
      193   1                 5                 10
      197 <210> SEQ ID NO: 2
      199 <211> LENGTH: 10
      201 <212> TYPE: PRT
      203 <213> ORGANISM: Artificial Sequence
      207 <220> FEATURE:
      209 <223> OTHER INFORMATION: Description of Artificial Sequence:LH-RH analogue
      213 <220> FEATURE:
      215 <221> NAME/KEY: SITE
      217 <222> LOCATION: (1)
      219 <223> OTHER INFORMATION: Xaa is pGlu, Sar or AcSar
      223 <220> FEATURE:
      225 <221> NAME/KEY: SITE
      227 <222> LOCATION: (3)
      229 <223> OTHER INFORMATION: Xaa is an optionally substituted aromatic L-amino acid
      233 <220> FEATURE:
      235 <221> NAME/KEY: SITE
      237 <222> LOCATION: (4)
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Input Set : A:\ES.txt
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```
     239 <223> OTHER INFORMATION: Xaa is Ala, Ser, D-Ser, MeSer, Ser(OBut), Ser(OBzl) or Thr
     243 <220> FEATURE:
     245 <221> NAME/KEY: SITE
     247 <222> LOCATION: (5)
     249 <223> OTHER INFORMATION: Xaa is an optionally substituted aromatic L-amino acid
     253 <220> FEATURE:
     255 <221> NAME/KEY: SITE
     257 <222> LOCATION: (6)
     259 <223> OTHER INFORMATION: Xaa is Gly, (S)-spirolactam-Pro, D-Pro, D-Ser, D-Thr,
     261         D-Cys, D-Met, D-Pen, D-(S-Me)Pen, D-(S-Et)Pen,
     263         D-Ser(OBut), D-Asp(OBut), D-Glu(OBut), D-Thr(OBut),
     265         D-Cys(OBut), D-Ser(OR1) where R1 is a sugar moiety, an
W--> 267         aza-amino acid, D-His which may be substituted on the
W--> 269         imidazole ring by a (C1-C6)alkyl or a benzyl group, an
W--> 271         aliphatic D-amino acid with a (C1-C8)alkyl or a (C3-
W--> 273         C6)cycloalkyl side chain, an optionally substituted
W--> 275         aromatic D-amino acid, D-cyclohexadienyl-Gly, D-
W--> 277         perhydronaphthyl-Ala, D-perhydrodiphenyl-Ala or an
W--> 279         optionally substituted basic D-amino acid
     283 <220> FEATURE:
     285 <221> NAME/KEY: SITE
     287 <222> LOCATION: (7)
     289 <223> OTHER INFORMATION: Xaa is a linear, branched or cyclic aliphatic L-amino
     291         acid of 3 to 20 carbon atoms which may be N-alpha-
     293         substituted by a (C1-C4)alkyl group optionally substituted
     295         by one or several fluorine atoms
     299 <220> FEATURE:
     301 <221> NAME/KEY: SITE
     303 <222> LOCATION: (8)
     305 <223> OTHER INFORMATION: Xaa is an optionally substituted basic L-amino acid
     309 <220> FEATURE:
     311 <221> NAME/KEY: SITE
     313 <222> LOCATION: (10)
     315 <223> OTHER INFORMATION: Xaa is GlyNH2, azaGlyNH2 or a group -NHR2 where R2 is
     317         a (C1-C4)alkyl which may be substituted by an hydroxy
     319         or one or several fluorine atoms, a (C3-C6)cycloalkyl
     321         or a heterocyclic radical selected from morpholinyl,
W--> 323         pyrrolidinyl and piperidyl
     327 <400> SEQUENCE: 2
W--> 329 Xaa His Xaa Xaa Xaa Xaa Xaa Xaa Pro Xaa
     331    1                5                  10
     335 <210> SEQ ID NO: 3
     337 <211> LENGTH: 10
     339 <212> TYPE: PRT
     341 <213> ORGANISM: Artificial Sequence
     345 <220> FEATURE:
     347 <223> OTHER INFORMATION: Description of Artificial Sequence:LH-RH analogue
     351 <220> FEATURE:
     353 <221> NAME/KEY: SITE
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RAW SEQUENCE LISTING   DATE: 12/19/2001
PATENT APPLICATION: US/09/787,436   TIME: 16:01:46

Input Set : A:\ES.txt
Output Set: N:\CRF3\12192001\I787436.raw

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355 <222> LOCATION: (1)
357 <223> OTHER INFORMATION: Xaa is pGlu
361 <220> FEATURE:
363 <221> NAME/KEY: SITE
365 <222> LOCATION: (3)
367 <223> OTHER INFORMATION: Xaa is as defined for SEQ ID NO:2
371 <220> FEATURE:
373 <221> NAME/KEY: SITE
375 <222> LOCATION: (5)
377 <223> OTHER INFORMATION: Xaa is as defined for SED ID NO:2
381 <220> FEATURE:
383 <221> NAME/KEY: SITE
385 <222> LOCATION: (6)
387 <223> OTHER INFORMATION: Xaa is as defined for SED ID NO:2
391 <220> FEATURE:
393 <221> NAME/KEY: SITE
395 <222> LOCATION: (7)
397 <223> OTHER INFORMATION: Xaa is Leu, Tle, Nle, Hol, Npg, Cha or Ada, which may
399       be N-alpha-substituted by a methyl or ethyl group
401       optionally substituted by one or several fluorine atoms
405 <220> FEATURE:
407 <221> NAME/KEY: SITE
409 <222> LOCATION: (10)
411 <223> OTHER INFORMATION: Xaa is as defined for SEQ ID NO:2
415 <400> SEQUENCE: 3
```
W--> 417 **Xaa His Xaa Ser Xaa Xaa Xaa Arg Pro Xaa**
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419   1                 5                 10
423 <210> SEQ ID NO: 4
425 <211> LENGTH: 10
427 <212> TYPE: PRT
429 <213> ORGANISM: Artificial Sequence
433 <220> FEATURE:
435 <223> OTHER INFORMATION: Description of Artificial Sequence:LH-RH analogue
439 <220> FEATURE:
441 <221> NAME/KEY: SITE
443 <222> LOCATION: (1)
445 <223> OTHER INFORMATION: Xaa is pGlu
449 <220> FEATURE:
451 <221> NAME/KEY: SITE
453 <222> LOCATION: (3)
455 <223> OTHER INFORMATION: Xaa is Phe, Tyr, Trp, 2MeTrp, HPhe, HTyr, Nal, 1Nal,
457       Bal, Pal, 4Pal or pClPhe
461 <220> FEATURE:
463 <221> NAME/KEY: SITE
465 <222> LOCATION: (5)
467 <223> OTHER INFORMATION: Xaa is Phe, Tyr, Trp, 2MeTrp, HPhe, HTyr, Nal, 1Nal,
469       Bal, Pal, 4Pal or pClPhe
473 <220> FEATURE:
475 <221> NAME/KEY: SITE
```

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       477 <222> LOCATION: (6)
       479 <223> OTHER INFORMATION: Xaa is (S)-spirolactam-Pro, Gly, D-Pro, D-Ser(OBut),
       481       D-Asp(OBut), D-Glu(OBut), D-Thr(OBut), D-Cys(OBut),
       483       D-His, D-His(Bzl), D-Ala, D-Leu, D-Tle, D-Nle, D-Hol,
       485       D-Npg, D-Cha, D-Phe, D-HPhe, D-Tyr, D-HTyr, D-Trp,
W--> 487       D-2MeTrp, D-Nal, D-1Nal, D-Bal, D-Pal, D-4Pal, D-pClPhe
W--> 489       D-cyclohexadienyl-Gly, D-perhydronaphtyl-Ala,
W--> 491       D-perhydrodiphenyl-Ala or D-APhe optionally substituted
W--> 493       by an aminotriazolyl group
       497 <220> FEATURE:
       499 <221> NAME/KEY: SITE
       501 <222> LOCATION: (7)
       503 <223> OTHER INFORMATION: Xaa is Leu, Npg or Cha, which may be N-alpha-substituted
       505       by a methyl group
       509 <220> FEATURE:
       511 <221> NAME/KEY: SITE
       513 <222> LOCATION: (10)
       515 <223> OTHER INFORMATION: Xaa is GlyNH2, azaGlyNH2 or -NC2H5
       519 <400> SEQUENCE: 4
W--> 521 Xaa His Xaa Ser Xaa Xaa Xaa Arg Pro Xaa
       523    1                 5                 10
       527 <210> SEQ ID NO: 5
       529 <211> LENGTH: 10
       531 <212> TYPE: PRT
       533 <213> ORGANISM: Artificial Sequence
       537 <220> FEATURE:
       539 <223> OTHER INFORMATION: Description of Artificial Sequence:LH-RH analogue
       543 <220> FEATURE:
       545 <221> NAME/KEY: SITE
       547 <222> LOCATION: (1)
       549 <223> OTHER INFORMATION: Xaa is pGlu
       553 <220> FEATURE:
       555 <221> NAME/KEY: SITE
       557 <222> LOCATION: (6)
       559 <223> OTHER INFORMATION: Xaa is (S)-spirolactam-Pro, D-Leu, D-Ala, D-Nal,
       561       D-Phe, D-Ser(OBut) or D-Trp
       565 <220> FEATURE:
       567 <221> NAME/KEY: SITE
       569 <222> LOCATION: (7)
       571 <223> OTHER INFORMATION: Xaa is Leu, MeLeu, Npg or MeNpg
       575 <220> FEATURE:
       577 <221> NAME/KEY: SITE
       579 <222> LOCATION: (10)
       581 <223> OTHER INFORMATION: Xaa is GlyNH2, azaGlyNH2 or -NC2H5
       585 <400> SEQUENCE: 5
W--> 587 Xaa His Trp Ser Tyr Xaa Xaa Arg Pro Xaa
       589    1                 5                 10
       593 <210> SEQ ID NO: 6
       595 <211> LENGTH: 10
```

Use of n and / or Xaa has been detected in the
Sequence Listing. Review the Sequence Listing
to ensure a corresponding explanation is present
in the <220> to <223> fields of each sequence
using n or Xaa.

VERIFICATION SUMMARY　　　　　　　　DATE: 12/19/2001
PATENT APPLICATION:　US/09/787,436　　　TIME: 16:01:47

Input Set : **A:\ES.txt**
Output Set: **N:\CRF3\12192001\I787436.raw**

```
L:7 M:280 W: Numeric Identifier already exists, <110> found multiple times
L:9 M:280 W: Numeric Identifier already exists, <110> found multiple times
L:23 M:270 C: Current Application Number differs, Replaced Current Application No
L:23 M:271 C: Current Filing Date differs, Replaced Current Filing Date
L:129 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:131 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:133 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:135 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:137 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:139 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:141 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:185 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:191 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:1
L:267 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:269 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:271 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:273 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:275 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:277 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:279 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:323 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:329 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:2
L:417 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:3
L:487 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:489 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:491 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:493 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:521 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:4
L:587 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:5
L:681 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:683 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:685 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:687 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:689 M:259 W: Allowed number of lines exceeded, <223> Other Information:
L:733 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:6
L:839 M:341 W: (46) "n" or "Xaa" used, for SEQ ID#:7
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